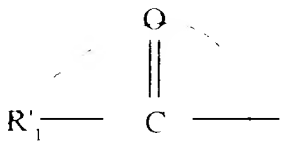
We claim:

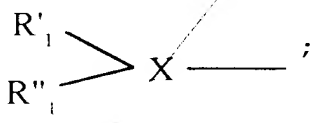
1. A non-naturally occurring compound that specifically inhibits the activity of factor Xa, having the general formula A1-A2-$(A3)_m$-B, wherein m is 0 or 1;

wherein A1 is R_1-R_2-R_3; A2 is R_4-R_5-R_6; A3 is R_7-R_8-R_9;

wherein R_1 is selected from the group consisting of:

i) 1 to 20 amino acids;

ii)  and

iii)  ;

wherein X is selected from the group consisting of N, CH and NC=O, and

wherein R'_1 and R''_1 independently are selected from the group consisting of -H, alkyl, acyl, aryl, arylalkyl, an amino-protecting group, 1 to 20 amino acids, and

wherein R_1 can be substituted by a substituent;

R_2 is $-CR_{2a}R_{2b}-$, wherein R_{2a} and R_{2b} independently are selected from the group consisting of an H; alkyl, arylalkyl, heterarylalkyl and heteraryl, and

wherein R_{21} and R_{211} independently can be substituted with a substituent;

F_3 is selected from the group consisting of -C(O)-, $-CH_2-$, $-CHR_{33}-C(O)-$ and $-C(O)-NR_{35}-CH_2-C(O)-$, wherein R_{35} is the CHR_{33} group of the bridging group $-C(O)-CR_{33}-$;

F_4 is selected from the group consisting of $-CH_2-$ and $-NR_{41}-$, wherein R_{41} is selected from the group consisting of H, alkyl, arylalkyl and heterocyclic;

F_5 is $-CR_{201}R_{202}-$, wherein R_{201} and R_{202} independently are selected from the group consisting of H, alkyl, aryl and arylalkyl, and wherein R_{201} and R_{202} independently can be substituted with a substituent;

F_6 is selected from the group consisting of -C(O)-, $-CH_2-$ and $-CHR_{64}-C(O)-$;

F_7 is selected from the group consisting of $-CH_2-$ and $-NR_{71}-$, wherein R_{71} is H, alkyl, arylalkyl, heteroalkyl and heteroarylalkyl, and any of these moieties substituted by a substituent selected from the group consisting of Q and $-(CH_2)_n-Q$, wherein n is 1 to 5 and wherein Q is selected from the group consisting of an amino, amidino, imidazole and guanidino group, which can be substituted with a substituent, and a mono-, di-, tri- or tetra-alkylammonium of a pharmaceutically acceptable salt, isoureide or isothioureide thereof;

R_2 is $-CR_{211}R_{212}-$, wherein R_{212} and R_{211} independently are selected from the group consisting of H, alkyl, alkylaryl and heterocyclic, and any of these moieties substituted by a substituent selected from the group consisting of Q and $-(CH_2)_n-Q$, wherein n is 1 to 5 and

wherein R_2 is selected from the group consisting of amino, amidino, imidazole and guanidino group, which can be substituted with a substituent, and a mono-, di-, tri- or tetra-alkylammonium of a pharmaceutically acceptable salt, isoureide or isothioureide thereof;

R_3 is selected from the group consisting of $-C(O)-$, $-CH_2-$ and $-CHR_{30}-C(O)-$; and

wherein, when m is 1, B is selected from the group consisting of 1 to 20 amino acids, $-NHR_{50}$, $-NR_{60}R_{61}$, $-OR_{70}$ and $-CHR_{60}R_{61}$,

wherein R_{50} is selected from the group consisting of H, alkyl, arylalkyl, heteroarylalkyl and heteroaryl;

wherein R_{60} and R_{61} independently are selected from the group consisting of H, alkyl, arylalkyl, aryl, heteroarylalkyl and heteroaryl, and

wherein R_{70} is selected from the group consisting of H, acyl, alkyl, arylalkyl and heteroarylalkyl,

and wherein when m is 0, B is selected from the group consisting of 1 to 20 amino acids, $-OR_{70}$, $-NHR_{50}$ and $-NR_{60}R_{61}$, which is joined to R_2 by an amide bond or an ester bond;

wherein B can be substituted with a substituent,

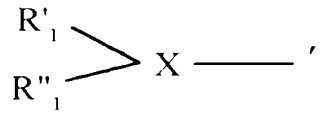
provided that

when R_3 is $-CH_2-$ or $-CHR_{30}-C(O)-$, R_4 is NR_{40};

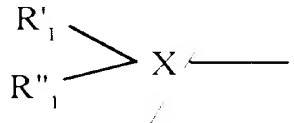
when R_4 is $-CH_2-$, R_3 is $-C(O)-$ or $-CHR_{33}-C(O)-$;

when R_4 is $-CH_2-$, R_3 is $-NHR_{33}-$;

when R_4 is CH_2, R_3 is $-C(O)-$ or $-CHR_{33}-C(O)-$;

when R_4 is $-NR_{50}-$ and R_1 is  ,

R_{50} and R'_1 are taken together to form a bridging group having the formula: $-C(O)-CHR_{55}-$,

wherein CHR_{55} represents R_{50} and the carbonyl group represents R'_1, and R''_1 and R_{55} independently are H, C_1 to C_6 alkyl or arylalkyl; and when R_3 is $-C(O)-NR_{35}-CH_2-C(O)-$, then R_4 is $-NR_{50}-$, R_1 is  , R_{35} and R'_1 are taken together to form a bridging group having the formula $-C(O)CHR_{55}-$,

wherein $C(O)$ represents R'_1 and CHR_{55} represents R_{35}; R''_1 and R_{55} independently are H or a C_1 to C_6 alkyl; further wherein the above compound is not one of the following compounds:

a) RYIRF-NH_2;
GNFFRF-NH_2;
KNEFIRF-NH_2;
KHEYLRF-NH_2;
SDPNFLRF-NH_2;
FMRF-NH_2;
FLRF-NH_2;

YMRF-NH_2;

YLRF-NH_2;

pQDPFLRF-NH_2;

SDPFLRF-NH_2;

NDPFLRF-NH_2;

GDPFLRF-NH_2;

SDPYLRF-NH_2;

SDPYFFRF-NH_2;

ALAGDHFFRF-NH_2;

pQDVDHVFLRF-NH_2;

pQDVVHSFLRF-NH_2;

SDRNFLRF-NH_2;

TNRNFLRF-NH_2;

b) H-D-Phe-Phe-Arg-NH-heptyl;

H-D-Phe-Phe-Arg-NH-lauryl;

H-D-Phe-Phe-Arg-NH-OH;

H-D-Phe-Phe-Arg-NH-isopropyl;

H-D-Phe-Phe-Arg-NH_2;

c) H-Phe-Val-Arg-OMe;

H-D-Phe-Val-Arg-H;

d) (3-nitro-2-pyridylsulfenyl)-Cys-Val-Asn-Tyr-Ile-Arg-Lys-Arg-Ser-Leu-Gln-Thr-Val-OH;

(Cys)-Val-Asn-Tyr-Ile-Arg-Lys-Arg-Ser-Leu-Gln-Thr-Val-OH;

e) Asn-Arg-Val-Tyr-Ala-His-Pro-Phe;

Asn-Arg-Val-Tyr-Abu-His-Pro-Phe;

Asn-Arg-Val-Tyr-Nle-His-Pro-Phe;

Asn-Arg-Val-Tyr-aIle-His-Pro-Phe;

Asn-Arg-Val-Tyr-Aev-His-Pro-Phe;

Asn-Arg-Val-Tyr-Cpg-His-Pro-Phe;

Asn-Arg-Val-Tyr-Chg-His-Pro-Phe;

f) compounds of the formula:

X_F-Arg-Val-Tyr-Y_F-His-Pro-W_F (II)

wherein in the above Formula (II):

X_F stands for sarcosyl, lactoyl or hydroxyacetyl radical;

Y_F is cyclopentylglycyl or cyclohexylglycyl;

W_F is an aliphatic amino acid radical or lactic acid radical;

g) compounds of the formulas:

Z_G-X_G-Arg(A)-Val-Tyr(B_G)-Y_G-His(E_G)-Pro-W_G-OG (III) and

Z_G-X_G-Arg(A_G)-Val-Tyr(B_G)-Y_G-His-Pro-W_G-OG (IV);

wherein in the above Formulas (III) and (IV):

Y_G is cyclopentylglycyl or cyclohexylglycyl;

W_G is an aliphatic amino acid radical or lactic acid radical;

Z_G is a protecting group removable by acidolysis or catalytic hydrogenation, preferably

benzyloxycarbonyl or tert-butoxycarbonyl,

A_G is a group suitable for the temporary protection of the guanidino group of arginine, preferably a nitro group,

B_G is a group suitable for the temporary protection of the aromatic hydroxyl group of tyrosine, preferably benzyl or substitute benzyl,

E_G is a group suitable for the temporary protection of the imidazole group of histidine, preferably dinitrophenyl,

C_G is a group suitable for the temporary protection of the C-terminal carboxyl group, resistant to acid treatment but removable for example by catalytic hydrogenation, for example benzyl or substituted benzyl, and

X_G depending on the meaning of X, represents either a sarcosyl group or an aliphatic carboxylic acid radical containing an aminoxy group in the o-position;

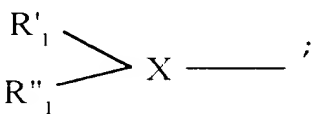
and further wherein B_3 in the above formula is not a chromogenic group which is removable by enzymatic hydrolysis and capable of forming a colored or fluorescent compound containing the chromogenic group B_3.

2. A non-naturally occurring compound that specifically inhibits the activity of factor Xa, having the general formula A_1-A_2-$(A_3)_m$-B, wherein m is 1 or 0;

wherein A_1 is R_1-R_2-R_3; A_2 is R_4-R_5-R_6; A_3 is R_7-R_8-R_9;

wherein

R_1 is



;

X is N;

R'$_1$ is selected from isobutyl, 2-methylpentyl, cyclohexylmethyl, cyclohexenylmethyl, 2-methylbutyl, -H and 2,3-dimethylpentyl;

R"$_1$ is selected from 2-benzofuroyl, alloc, acetyl, trifluoroacetyl, 2-quinolinoyl, 3-pyridoyl, 4-isoquinolinoyl, 5-benzylimidazoyl, 2-naphthylmethyl, 5-pyridiminoyl, benzoyl, 2-pyridoyl, tosyl, 3-quinolinoyl, 2-naphthylsulfonyl, 2-methylbenzyl, 2-furoyl, 3,4-dichlorobenzoyl, 2-thienylacetyl, N(5-methyl-2-thienyl), ethoxycarbonyl,

2-fluorobenzoyl, t-butoxycarbonyl, benzyl and 1-20 amino acids;

P_2 is $-CR_{2A}R_{2B}-$, wherein $-R_{2A}$ and $-R_{2B}$ are independently selected from the group consisting of -H, 4-amidinophenylmethyl, 4-aminophenylmethyl), 4-hydroxyphenylmethyl, 2-naphthylmethyl, 4-(N-methylpyridinyl)methyl, (3-iodo-4-aminophenyl)methyl, (4-aminocarbonylphenyl)methyl, (3-iodo-4-hydroxyphenyl)methyl, (4-cyanophenyl)methyl, (4-hydroxyphenyl)methyl;

P_3 is -C(O)-;

P_4 is -NH-;

P_5 is $-CR_{5A}R_{5B}$, wherein $-R_{5A}$ and $-R_{5B}$ are independently selected from the group consisting of -H, 2-butyl, and cyclohexyl;

P_6 is -C(O)-;

P_7 is -NH-;

P_8 is $-CR_{8A}R_{8B}$, wherein $-R_{8A}$ and $-R_{8B}$ are independently selected from the group consisting of -H, 3-guanylpropyl, (dimethylamidinium)aminomethyl, (dimethylamidinium)aminoethyl, 3-(N-methylpyridinyl)methyl, 4-(N-methylpyridinyl)methyl;

R_3 is -C(O)-; and

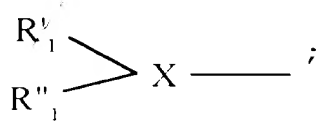
B is Leu-Pro-NH_2, Leu-Hyp-NH_2, Pen(-CH_2COOH)-Pro-NH_2, Cys(-CH(COOH)-)-Pro-NH_2, γ-carboxyglutamic acid-Pro-NH_2, (N-carboxymethyl)Gly-Pro-NH_2, (N-carboxyethyl)Gly-Pro-NH_2, (N-1,3-dicarboxypropyl)Gly-Pro-NH_2, (N-methyl)Leu-Pro-NH_2, Leu-NH_2, Leu-OH, -NH-(4-trimethylammoniumbenzyl), -NH-[4-(1-methylpyridinium)methyl], and -NH-(4-amidinobenzyl).

3. A non-naturally occurring compound that specifically inhibits the activity of factor Xa, having the general formula A_1-A_2-$(A_3)_m$-B, wherein m is 1;

wherein A_1 is R_1-R_2-R_3; A_2 is R_4-R_5-R_6; A_3 is R_7-R_8-R_9;

wherein

R_2 is



;

X is N;

R'_1 is selected from H, isobutyl, 2-methylpentyl, cyclohexylmethyl, 3-quinolinyl, 2-methylbutyl, 2,3 dimethyl pentyl, and cyclohexenylmethyl;

R''_1 is selected from 2-benzofuroyl, alloc, acetyl, trifluoroacetyl, 2-quinolinoyl, 3-pyridoyl, 4-isoquinolinoyl, 5-benzimidazoyl, 2-naphthylmethyl, 5-pyrazinoyl, benzoyl, 2-pyridoyl, tosyl, 3-quinolinoyl, 2-naphthylsulfonyl, 2-methylbenzyl, and benzyl;

R_2 is $-CR_{2A}R_{2B}$, wherein $-R_{2A}$ and $-R_{2B}$ are independently selected from the group consisting of H, 3-amidinophenylmethyl, 4-amidinophenylmethyl, 4-aminophenylmethyl, 4-hydroxyphenylmethyl, 2-naphthylmethyl, 4-(N-methylpyridinyl)methyl, (3-iodo-4-aminophenyl)methyl, (4-aminocarbonylphenyl)methyl, (3-iodo-4-hydroxyphenyl)methyl, (4-cyanophenyl)methyl, and 3-indolylmethyl;

R_3 is selected from the group consisting of $-C(O)-$, $-CH_2-$, $-CHR_{35}-C(O)-$ and $-C(O)-NR_{35}-CH_2-C(O)-$, wherein R_{35} is the CHR_{55} group of the bridging group $-C(O)-CR_{55}-$;

R_4 is $-NH-$;

R_5 is $-CR_{5A}R_{5B}$, wherein $-R_{5A}$ and $-R_{5B}$ are independently selected from the group consisting of -H, 2-butyl, cyclohexyl and phenyl;

R_6 is $-C(O)-$;

R_7 is $-NH-$;

R_8 is $-CR_{8A}R_{8B}$, wherein $-R_{8A}$ and $-R_{8B}$ are independently selected from the group consisting of -H, 3-guanylpropyl, (dimethylamidinium)aminomethyl, (dimethylamidinium)aminoethyl, 3-(N-methylpyridinyl)methyl, N-carboxymethyl)(3-pyridinylmethyl), and 4-(N-methylpyridinyl)methyl;

R_9 is selected from the group consisting of $-C(O)-$, $-CH_2-$ and $-CHR_{99}-C(O)-$; and

B is $-NH_2$, -OH, Leu-Pro-NH_2, Leu-Hyp-NH_2, Pen(CH_2COOH)-Pro-NH_2, Cys(CH_2COOH)-Pro-NH_2, γ-carboxyglutamic acid-Pro-NH_2, (N-carboxymethyl)Gly-Pro-NH_2, (N-carboxyethyl)Gly-Pro-NH_2, (N-1,3-dicarboxypropyl)Gly-Pro-NH_2, (N-methyl Leu-Pro-NH_2, Leu-NH_2, and Leu-OH.

4. The compound of claim 3 wherein R_3 is $-C(O)-$.

5. The compound of claim 3 wherein R_9 is $-C(O)-$.

6. The compound of claim 4 wherein R_9 is $-C(O)-$.

7. A compound selected from the group consisting of
$CF_3C(O)$-(iBu)Phe(NH_2)-Chg-Arg-Leu-Pro-NH_2;
Ac-pAph-Ile-Arg-Leu-Pro-NH_2;
$CF_3C(O)$-(iBu)Nal(2)-Chg-Arg-Leu-Pro-NH_2;
Ac-Phe(3I,4NH_2)-Chg-Arg-Leu-Pro-NH_2;
$CF_3C(O)$-Tyr-Chg-Arg-Leu-Pro-NH_2;
(5-benzimidazoyl)-Phe(NH_2)-Chg-Arg-Leu-Pro-NH_2;
$CF_3C(O)$-(iBu)Tyr-Ile-Arg-Leu-Pro-NH_2;
Ac-(Chx-CH_2)Tyr-Ile-Arg-Leu-Pro-NH_2;

D-Tyr-Chg-Arg-Leu-Pro-NH_2; and

Ac-Trp-Chg-Arg-Leu-Pro-NH_2.

8. A compound selected from the group consisting of

(2-benzofuroyl)-Tyr-Chg-Arg-Pen-Pro-NH_2;

(2-benzofuroyl)-pAph-Chg-Pal(3)Me-Pen(CH_2COOH)-Pro-NH_2;

Ac-pAph-Chg-Arg-Cys(CH_2COOH)-Pro-NH_2;

(Alloc)-pAph-Chg-Arg-Leu-Pro-NH_2;

(2-benzofuroyl)-pAph-Chg-Arg-Pen(CH_2COOH)-Pro-NH_2;

Ac-pAph-Chg-Pal(3)Me-Pen(CH_2COOH)-Pro-NH_2;

Ac-pAph-Chg-Arg-Leu-Pro-NH_2;

Ac-pAph-Chg-Arg-(HOOC-CH_2)Gly-Pro-NH_2;

Ac-pAph-Chg-Arg(HOOC-CH_2-CH_2)Gly-Pro-NH_2;

Ac-pAph-Chg-Arg-Gla-Pro-NH_2;

Ac-pAph-Chg-Arg-Cys(CH_2-COOH)-Pro-NH_2;

Ac-Pal(4)Me-Chg-Arg-Leu-Pro-NH_2;

Ac-(iBu)Nal(2)-Chg-Arg-Leu-Pro-NH_2;

Ac-Phe(p-$CONH_2$)-Chg-Arg-Leu-Pro-NH_2;

Ac-pAph-Chg-Arg-N[1(1,3-dicarboxy)propyl)]Gly-Pro-NH_2;

Ac-pAph-Chg-Dap(CH=N(CH_3)$_2$)-Leu-Pro-NH_2;

(2-quinolinoyl)-Phe(NH_2)-Chg-Arg-Leu-Pro-NH_2;

Ac-pAph-Chg-Arg-N(carboxymethyl)Gly-Pro-NH_2;

Ac-pAph-Chg-Arg-(carboxyetnyl)Gly-Pro-NH_2;

Ac-mAph-Chg-Arg-Leu-Pro-NH_2;

Alloc-pAph-Chg-Pal(3)Me-Pen(CH_2COOH)-Pro-NH_2;

Ac-pAph-Chg-Arg-N[1(1,3-dicarboxy)propyl)]Gly-Pro-NH_2;

Ac-pAph-Ile-Arg-Leu-Pro-NH_2;

Ac-Phe(pNH$_2$)-Chg-Arg-(Me)Leu-Pro-NH_2;

Ac-(Chx-CH_2)Tyr-Chg-Arg-Leu-Pro-NH_2;

(3-pyridoyl)-Phe pNH$_2$)-Chg-Arg-Leu-Pro-NH_2;

3-pyridoyl -Nal 2 -Chg-Arg-Leu-Pro-NH_2;

Ac-Pal(4)Me-Chg-Pal(4)Me-Leu-Pro-NH_2;

Alloc-pAph-Chg-Arg-Leu-Pro-NH_2;

(4-isoquinolinoyl)-Phe(pNH_2)-Chg-Arg-Leu-Pro-NH_2;

Ac-pAph-Cha-Pal(3)Me-(Me)Leu-Pro-NH_2;

Ac-pAph-Chg-Pal(3)Me-Leu-Pro-NH_2;

(2-naphythl-CH_2)Phe(pNH_2)-Chg-Arg-Leu-Pro-NH_2;

(5-pyrazinoyl)Nal(2)-Chg-Arg-Leu-Pro-NH_2;

(Benzoyl)-Phe(pNH_2)-Chg-Arg-Leu-Pro-NH_2;

Ac-(2-methylpentanyl)-Tyr-Ile-Arg-Leu-Pro-NH_2;

(2-pyridonyl)Phe(pNH_2)Chg-Arg-Leu-Pro-NH_2;

(Benzoyl)-Phe(pNH_2)-Chg-Arg-Leu-Pro-NH_2;

Ac-(2-methypentyl)Tyr-Ile-Arg-Leu-Pro-NH_2;

Ac-(iBu)Phe(pCN)-Chg-Arg-Leu-Pro-NH_2;

Ac-(2-methybutyl)Tyr-Ile-Arg-Leu-Pro-NH_2;

Ac-Phe(pNH_2)-Chg-Arg-Leu-Pro-NH_2;

Ac-Phe(pNH_2)-Chg-Arg-Leu-Hyp-NH_2;

Ac-Tyr-Chg-Arg-Leu-Pro-NH_2;

(2-naphthylsulfonyl)-Phe(pNH_2)-Chg-Arg
-Leu-Pro-NH_2;

(2-methylbenzyl)-Phe(pNH_2)-Chg-Arg-Leu-Pro-NH_2;

(2-benzofuroyl)-Phe(pNH_2)-Chg-Dab(CH=N,CH_3)$_2$)
-Leu-Pro-NH_2;

Ac-(cyclopentenyl-CH_2)Tyr-Ile-Arg-Leu-Pro-NH_2;

Ac-Pal(4)Me-Chg-Pal(3)Me-Leu-Pro-NH_2;

Ac-(iBu)-Phe(pNH_2)-Chg-Arg-Leu-Pro-NH_2; and

Ac-(Chx-CH_2)-Tyr-Ile-Arg-Leu-Pro-NH_2.

9. A compound selected from the group consisting of
Ac-pAph-Chg-Arg-Leu-NH_2; and
Ac-pAph-Chg-Arg-Leu-CH.

10. A compound selected from the group consisting of
(2-benzofuroyl)-pAph-Chg-Pal(3)Me-NH_2; and
Ac-(iBu)Phe(pNH_2)-Chg-Arg-NH_2.

11. A compound selected from the group consisting of

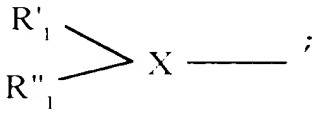
Alloc-pAph-Chg-Pal(3)Me-NH_2;
(2-quinolinoyl)-pAph-Chg-Pal(3)Me-NH_2;
Ac-pAph-Chg-Pal(3)Me-NH(1-methoxycarbonyl)
-1-cyclohexyl;
Ac-pAph-Chg-Arg-NH_2;
(2-pyridoyl)-pAph-Chg-Pal(3)Me-NH_2;
$CF_3C(O)$-(iBu)Phe(pNH_2)-Chg-Arg-NH_2;
Ac-pAph-Chg-Pal(3)Me-NH-(1-methoxycarbonyl)
-1-cyclopentyl;
Ac-pAph-Chg-Pal(3)Me-NH-(4-methoxycarbonyl
-cyclohexyl)methyl;
Ac-pAph-Chg-Pal(3)Me-NH-(3-thienyl-2
-carboxylic acid methyl ester);
Ac-pAph-Chg-Arg-NH_2;
$CF_3C(O)$-(iBu)Tyr-Chg-Arg-OH;
Ac-pAph-Chg-Pal(3)Me-NH-(4-methoxycarbonyl
-cyclohexyl)methyl;
Ac-pAph-Chg-Pal(3)Me-NH_2;
Ac-pAph-Pgl-Pal(3)Me-NH_2;
Ac-pAph-Chg-Pal(3)(CH_2COOH)-NH_2;
(2-quinolinecarboxy)-pAph-Chg-Pal(3 Me-NH_2;
Ac-pAph-Chg-Pal(3)Me-NH-(4-carboxycyclohexyl)
methyl; and
$CF_3C(O)$(iBu)-Tyr-Ile-Arg-NH_2.

12. A non-naturally occurring compound that specifically inhibits the activity of factor Xa, having the general formula A_1-A_2-$(A_3)_m$-B, wherein m is 0;

wherein A_1 is R_1-R_2-R_3; and A_2 is R_4-R_5-R_6;

wherein

R_1 is



X is N;

R'_1 is selected from the group consisting of H, alkyl, acyl, aryl, arylalkyl and an amino-protecting group;

R''_1 is selected from 2-furoyl, 3,4-dichlorobenzoyl, 2-thienylacetyl, 5-methyl-2-thienoyl, acetyl, ethoxycarbonyl, 2-fluorobenzoyl, alloc, and *t*-butoxycarbonyl;

R_2 is $-CR_{2A}R_{2B}-$, wherein $-R_{2A}$ and $-R_{2B}$ are independently selected from the group consisting of an -H; alkyl, arylalkyl, heterocarylalkyl and heteroaryl, and wherein R_{2A} and R_{2B} independently can be substituted with a substituent;

R_3 is selected from the group consisting of $-C(O)-$, $-CH_2-$, $-CHR_{99}-C(O)-$ and $-C(O)-NR_{99}-CH_2-C(O)-$, wherein R_{99} is the CHR_{99} group of the bridging group $-C(O)-CR_{99}-$;

R_4 is -NH-;

R_5 is $-CR_{5A}R_{5B}$, wherein $-R_{5A}$ and $-R_{5B}$ are independently selected from the group consisting of -H, and cyclohexyl;

R_3 is -C(O)-;

B is -NH-(4-trimethylammoniumbenzyl), -NH-[4-(1-methylpyridinium)methyl], -NH-[4-(1-ethylpyridinium)methyl], and -NH-(4-amidinobenzyl).

13. The compound of claim 12 wherein R'_2 is H.

14. The compound of claim 12 wherein $-R_{2A}$ is *p*-amidinophenylmethyl.

15. The compound of claim 12 wherein R_3 is -C(O)-.

16. The compound claim 13 wherein $-R_{2A}$ is *p*-amidinophenylmethyl.

17. The compound of claim 16 wherein R_3 is -C(O)-.

18. The compound Ac-pAph-Chg-NH[4-(1-methyl-pyridinium)methyl].

19. A compound selected from the group consisting of
(2-furoyl)-pAph-Chg-NH-(4-trimethyl -ammonium benzyl);
(3,4-dichlorobenzoyl)-pAph-Chg-NH-(4-trimethyl -ammonium benzyl ;
(2-thienylacetyl)-pAph-Chg-NH-(4-trimethyl -ammonium benzyl);
(N-(5-methyl-2-thiencyl)-pAph-Chg-NH- (4-trimethyl-ammonium benzyl);
Ac-pAph-Chg-NH-(4-trimethyl -ammonium benzyl);
(Ethoxycarbonyl)-pAph-Chg-NH-(4-trimethyl -ammonium benzyl);

(2-fluorobenzoyl)-pAph-Chg-NH-(4-trimethyl
-ammonium benzyl);
Ac-pAph-Chg-NH-(4-amidinobenzyl);
Alloc-pAph-Chg-NH-[4-(-methylpyridinium)
-methyl];
(t-Butoxycarbonyl)-pAph-Chg-NH-(4-trimethyl
-ammonium benzyl);
(2-furoyl)-pAph-Chg-NH-1-[3(N-methylpyridyl)]
-1-(methylacetate)ethyl;
Ac-pAph-Chg-NH-1-[3(N-methylpyridyl)]
-1-(methylacetate)ethyl;
Ac-pAph-Chg-NH-[1-(1-methyl-4-pyridinium)ethyl;
Ac-pAph-Chg-NH-[1-(1-methyl-4-pyridinium)
methyl; and
Ac-pAph-Chg-NH-[1-(1-methyl-4-pyridinium)
-2-hydroxy]ethyl.

20. A compound selected from the group consisting of
Ac-*D*-pAph-Chg-Arg-Leu-Pro-NH_2
Ac-*D*-pAph-Chg-Arg-Gla-Pro-NH_2;
Ac-*D*-pAph-Chg-Arg-Cys(CH_2-COOH)-Pro-NH_2;
Ac-*D*-pAph-Chg-Arg-N(carboxymethyl)Gly-Pro-NH_2;
Ac-*D*-pAph-Chg-Arg-(carboxyethyl)Gly-Pro-NH_2;
Ac-*D*-pAph-Chg-Arg-N[1(1,3-dicarboxy)propyl)]Gly
-Pro-NH_2;
Ac-*D*-pAph-Ile-Arg-Leu-Pro-NH_2;
Alloc-*D*-pAph-Chg-Arg-Leu-Pro-NH_2;
Ac-*D*-pAph-Chg-Pal(3)Me-Leu-Pro-NH_2; and
Ac-*D*-pAph-Chg-Arg-NH_2.

21. A compound Ac-*D*-pAph-Chg-Pal(Me)-Leu-Pro-NH_2.

22. A compound Ac-*D*-pAph-Chg-Pal(Me)-NH_2.

23. A compound Ac-Phe(pNH$_2$)-Chg-Arg-Leu-Pro-NH$_2$.

24. A method of specifically inhibiting the activity of Factor Xa, comprising contacting the factor Xa with the compound of claim 1.

25. A method of specifically inhibiting the activity of Factor Xa, comprising contacting the factor Xa with the compound of claim 2.

26. A method of specifically inhibiting the activity of Factor Xa, comprising contacting the factor Xa with the compound of claim 12.